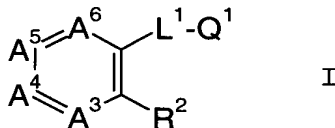


- 1 -

**Clean Pending Claims**

1. (Currently amended) A compound of formula I



5

(or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>; wherein

R<sup>3</sup> is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-, R<sup>g</sup>NH-, R<sup>h</sup>SO<sub>2</sub>-, hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or R<sup>f</sup>O<sub>2</sub>C(CH<sub>2</sub>)<sub>2</sub>-;

the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy;

in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;

or each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen; and R<sup>5</sup> is vinyl, 2-cyanovinyl, 2-((1-2C)alkoxy)carbonyl vinyl or R<sup>a</sup> in which R<sup>a</sup> is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein

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- 2 -

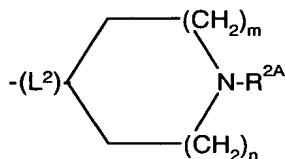
the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

$L^1$  is  $-\text{CO}-\text{NH}-$  such that  $-L^1-Q^1$  is  $-\text{CO}-\text{NH}-Q^1$ ;

$Q^1$  is 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

$R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is  $-\text{NH}-\text{CO}-$ ,  $-\text{NH}-\text{CO}-\text{X}-$ ,  $-\text{NH}-\text{CO}-\text{O}-\text{X}-$ ,  $-\text{NH}-\text{CO}-\text{NH}-\text{X}-$ ,  $-\text{NH}-\text{CH}_2-$ ,  $-\text{NH}-\text{C}(\text{CH}_3)\text{H}-$ ,  $-\text{N}(\text{CH}_3)-\text{CH}_2-$  or  $-\text{O}-\text{CH}_2-$ ; and  $Q^2$  is  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$  or  $Q^{2F}$  wherein X is a single bond or methylene and the values of  $L^2$  and  $Q^2$  are together selected from  $-\text{NH}-\text{CO}-\text{X}-Q^{2A}$ ,  $-\text{NH}-\text{CO}-\text{O}-\text{X}-Q^{2A}$ ,  $-\text{NH}-\text{CO}-\text{NH}-\text{X}-Q^{2A}$ ,  $-\text{NH}-\text{CH}_2-Q^{2A}$ ,  $-\text{NH}-\text{C}(\text{CH}_3)\text{H}-Q^{2A}$ ,  $-\text{N}(\text{CH}_3)-\text{CH}_2-Q^{2A}$ ,  $-\text{O}-\text{CH}_2-Q^{2A}$ ,  $-\text{NH}-\text{CO}-\text{X}-Q^{2B}$ ,  $-\text{NH}-\text{CO}-Q^{2C}$ ,  $-\text{NH}-\text{CO}-Q^{2D}$ ,  $-\text{NH}-\text{CO}-Q^{2E}$  and  $-\text{NH}-\text{CO}-Q^{2F}$  in which:

$Q^{2A}$  (showing the  $L^2$  to which it is attached) is



in which

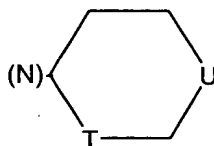
each of m and n independently is 0 or 1, or m is 2 and n is 1, and

$R^{2A}$  is hydrogen, t-butyl, methylsulfonyl,  $-\text{CHRYR}^Z$ ,  $-\text{CHR}^W\text{R}^X$ , or 4-pyridinyl (which is unsubstituted or bears a substituent  $\text{R}^V$  at the 2- or 3-position) wherein

$\text{R}^V$  is methyl, hydroxymethyl,  $\{(1-2\text{C})\text{alkoxy}\}\text{carbonyl}$ ; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of  $\text{R}^W$  and  $\text{R}^X$  independently is hydrogen or (1-3C)normal alkyl; or  $-\text{CHR}^W\text{R}^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is

- 3 -



in which T is a single bond or methylene and U is methylene, ethylene, oxy,  $-S(O)_q-$  (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is  
 5 ethan-1,1-diyl and U is a single bond or methylene;

RY is hydrogen or methyl; and

R<sup>Z</sup> is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents  
 10 independently selected from halo, methyl, methoxy and hydroxy), 4-quinoliny1 or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein  
 15 the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

or R<sup>2A</sup> is  $-L^b-CH_2-R^b$  in which  $-L^b-$  is a direct bond,  $-CH_2-$ ,  $-C(CH_3)H-$  or  $-CH_2-CH_2-$ ; and R<sup>b</sup> is carboxy, {(1-2C)alkoxy}carbonyl, cyano, carbamoyl or trifluoromethyl;

20 or R<sup>2A</sup> is  $-CO-R^c$  in which R<sup>c</sup> is hydrogen, (1-3C)alkyl, {(1-2C)alkoxy}carbonyl- $(CH_2)_c-$  (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a  
 25 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or  $-NR^dR^e$  in  
 30 which each of R<sup>d</sup> and R<sup>e</sup> is independently hydrogen, methyl or

- 4 -

ethyl, or  $-NR^dR^e$  is pyrrolidino, piperidino, morpholino or thiomorpholino;

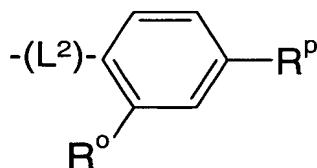
$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

5  $Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

$Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NR^sR^t$  in which each of  $R^s$  and  $R^t$  independently is hydrogen or methyl or  $R^s$  and  $R^t$  together are trimethylene or  
10 tetramethylene;

$Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NR^sR^t$  (defined as above); and

$Q^{2F}$  (showing the  $L^2$  to which it is attached) is

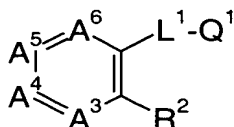


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in which  $R^O$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^P$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl,  
20 dimethylaminosulfonyl or  $-J-R^Q$  in which  $J$  is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2), or  $-NR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^Q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or  $-NR^qR^r$  is pyrrolidino.

25

2. (Currently amended) The compound of formula I as claimed in Claim 1



I

- 5 -

(or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>; wherein

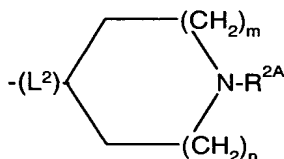
R<sup>3</sup> is hydrogen, methyl, fluoro, chloro or carboxy; one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-, R<sup>g</sup>NH- or R<sup>h</sup>SO<sub>2</sub>-;

the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy; in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino; L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

Q<sup>1</sup> is 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> or Q<sup>2F</sup> wherein X is a single bond or methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected from -NH-CO-X-Q<sup>2A</sup>, -NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>, -NH-CH<sub>2</sub>-Q<sup>2A</sup>, -O-CH<sub>2</sub>-Q<sup>2A</sup>, -NH-CO-X-Q<sup>2B</sup>, -NH-CO-Q<sup>2C</sup>, -NH-CO-Q<sup>2D</sup>, -NH-CO-Q<sup>2E</sup> and -NH-CO-Q<sup>2F</sup> in which:

Q<sup>2A</sup> (showing the L<sup>2</sup> to which it is attached) is



in which

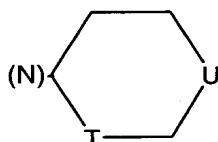
each of m and n independently is 0 or 1, and

- 6 -

$R^{2A}$  is hydrogen, t-butyl, methylsulfonyl,  $-CHRYR^Z$ ,  $-CHR^WR^X$ , or 4-pyridinyl (which is unsubstituted or bears a substituent  $R^V$  at the 2- or 3-position) wherein

$R^V$  is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of  $R^W$  and  $R^X$  independently is hydrogen or (1-3C)normal alkyl; or  $-CHR^WR^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is



10

in which T is a single bond or methylene and U is methylene, ethylene, oxy,  $-S(O)_q-$  (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is

ethan-1,1-diyl and U is a single bond or methylene;

$R^Y$  is hydrogen or methyl; and

$R^Z$  is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

$Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

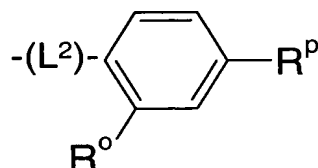
$Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NR^SR^t$  in which each of  $R^S$  and  $R^t$  independently is

- 7 -

hydrogen or methyl or  $R^s$  and  $R^t$  together are trimethylene or tetramethylene;

$Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NR^sR^t$  (defined as above); and

5  $Q^{2F}$  (showing the  $L^2$  to which it is attached) is



in which  $R^o$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^p$  is  
 10 acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or  $-J-R^q$  in which J is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein q is 0, 1 or 2), or  $-NR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^q$  is  
 15 (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

3. (Currently amended) A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

20  $A^3$ ,  $A^4$ ,  $A^5$  and  $A^6$ , together with the two carbons to which they are attached, complete a substituted benzene in which  $A^3$  is  $CR^3$ ,  $A^4$  is  $CR^4$ ,  $A^5$  is  $CR^5$ , and  $A^6$  is  $CR^6$ ; wherein

$R^3$  is hydrogen;

25 one of  $R^4$  and  $R^5$  is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy,  $R^fO_2C-$  or  $R^gNH-$ ;

the other of  $R^4$  and  $R^5$  is hydrogen; and

$R^6$  is hydrogen;

in which  $R^f$  is hydrogen, (1-4C)alkyl or benzyl;  $R^g$  is  
 30 hydrogen or  $R^hSO_2-$ ; and  $R^h$  is (1-4C)alkyl or dimethylamino;

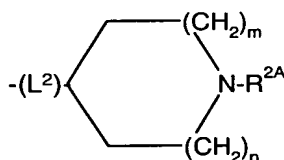
$L^1$  is  $-CO-NH-$  such that  $-L^1-Q^1$  is  $-CO-NH-Q^1$ ;

- 8 -

Q<sup>1</sup> is 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

$R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is  $-NH-CO-$ ,  $-NH-CO-X-$ ,  $-NH-CO-O-X-$ ,  $-NH-CO-NH-X-$ ,  $-NH-CH_2-$  or  $-O-CH_2-$ ; and  $Q^2$  is  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$  or  $Q^{2F}$  wherein  $X$  is a single bond or methylene and the values of  $L^2$  and  $Q^2$  are together selected from  $-NH-CO-X-Q^{2A}$ ,  $-NH-CO-O-X-Q^{2A}$ ,  $-NH-CO-NH-X-Q^{2A}$ ,  $-NH-CH_2-Q^{2A}$ ,  $-O-CH_2-Q^{2A}$ ,  $-NH-CO-X-Q^{2B}$ ,  $-NH-CO-Q^{2C}$ ,  $-NH-CO-Q^{2D}$ ,  $-NH-CO-Q^{2E}$  and  $-NH-CO-Q^{2F}$  in which:

10  $Q^{2A}$  (showing the  $L^2$  to which it is attached) is



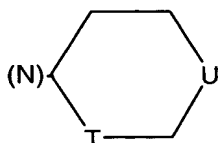
in which

15        each of  $m$  and  $n$  independently is 0 or 1, and

R<sup>2A</sup> is hydrogen, -CHRYR<sup>Z</sup>, -CHRW<sup>RX</sup>, or 4-pyridinyl (which is unsubstituted or bears a substituent R<sup>V</sup> at the 2- or 3-position) wherein

R<sup>V</sup> is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl;  
20 cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of  $R^W$  and  $R^X$  independently is hydrogen or (1-3C)normal alkyl; or  $-CHR^WR^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is





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in which T is a single bond or methylene and U is methylene, oxy, thioxy or imino (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;

RY is hydrogen or methyl; and

5 R<sup>Z</sup> is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms  
10 selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

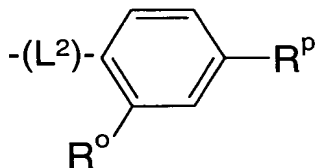
Q<sup>2B</sup> is 1-piperazinyl which bears at the 4-position the  
15 group R<sup>2A</sup> (defined as above);

Q<sup>2C</sup> is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R<sup>2A</sup> (defined as above);

Q<sup>2D</sup> is cyclohexyl which bears at the 4-position the group -NR<sup>S</sup>R<sup>t</sup> in which each of R<sup>S</sup> and R<sup>t</sup> independently is  
20 hydrogen or methyl or R<sup>S</sup> and R<sup>t</sup> together are trimethylene or tetramethylene;

Q<sup>2E</sup> is 1-piperidinyl which bears at the 4-position the group -NR<sup>S</sup>R<sup>t</sup> (defined as above); and

Q<sup>2F</sup> (showing the L<sup>2</sup> to which it is attached) is



25

in which R<sup>0</sup> is hydrogen and R<sup>P</sup> is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl,  
30 dimethylaminosulfonyl or -J-R<sup>Q</sup> in which J is a single bond, methylene, carbonyl, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2),

- 10 -

or -NR<sup>r</sup>- (wherein R<sup>r</sup> is hydrogen or methyl); and R<sup>q</sup> is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

4. (Original) The compound of Claim 1, 2 or 3 wherein  
5 halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl,  
10 cyclobutyl, cyclopentyl or cyclohexyl.

5. (Currently amended) The compound of Claim 4 wherein Q<sup>1</sup> is 6-chloropyridazin-3-yl.

15 6. (Currently amended) The compound of Claim 4 wherein R<sup>2</sup> is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino, (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydropyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
20 danyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

25 7. (Currently amended) The compound as claimed in Claim 4 wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

8. (Currently amended) The compound as claimed in Claim 4 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is  
30 chloro or fluoro.

9. (Currently amended) The compound as claimed in Claim 1 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is R<sup>a</sup> wherein R<sup>a</sup> is phenyl, furanyl, thienyl, 2-isothiazolyl or

- 11 -

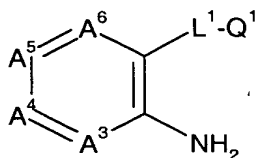
pyridyl; and wherein halo is fluoro, chloro, bromo or iodo;  
 (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is  
 methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl,  
 propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl  
 5 is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-  
 6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl or  
 cyclohexyl.

10. (Currently amended) The pharmaceutically  
 10 acceptable salt of a compound of formula I as claimed in any  
 of Claims 1-3 which is an acid-addition salt made from a  
 basic compound of formula I and an acid which provides a  
 pharmaceutically acceptable anion or a salt which is made  
 from an acidic compound of formula I and a base which  
 15 provides a pharmaceutically acceptable cation.

11. (Currently amended) A pharmaceutical formulation  
 comprising in association with a pharmaceutically acceptable  
 carrier, diluent or excipient, a novel compound of formula I  
 20 (or a pharmaceutically acceptable salt thereof) as provided  
 in any of Claims 1-3 .

12. (Original) A process for preparing a compound of  
 formula I (or a pharmaceutically acceptable salt thereof) as  
 25 provided in Claim 1 or 2 which is selected from

(A) for a compound of formula I in which  $-L^2-Q^2$ , is  
 $-NH-CO-Q^2$ ,  $-NH-CO-X-Q^2$ ,  $-NH-CO-O-X-Q^2$  or  $-NH-CO-NH-X-Q^2$ ,  
 acylating an amine of formula II,

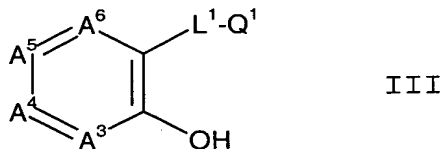


II

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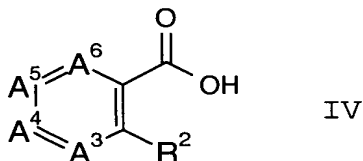
using a corresponding acid of formula  $\text{HO-CO-Q}^2$ ,  $\text{HO-CO-X-Q}^2$ ,  $\text{HO-CO-O-X-Q}^2$ , or  $\text{HO-CO-NH-X-Q}^2$ , or an activated derivative thereof;

(B) for a compound of formula I in which  $-\text{L}^2-\text{Q}^2$  is  
 5  $-\text{O-CH}_2-\text{Q}^{2A}$ , alkylating a phenol of formula III



using a reagent of formula  $\text{Y-CH}_2-\text{Q}^{2A}$  in which Y is a  
 10 conventional leaving group;

(C) acylating an amine of formula  $\text{H}_2\text{N-Q}^1$ , or a deprotonated derivative thereof, using an acid of formula IV, or an activated derivative thereof;

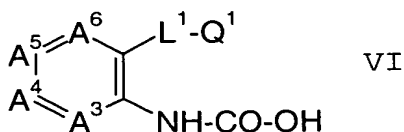


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(D) for a compound of formula I in which  $\text{R}^2$  is  $-\text{NH-CH}_2-\text{Q}^{2A}$ , alkylating an amine of formula II directly, using a compound of formula  $\text{Y-CH}_2-\text{Q}^{2A}$ , or indirectly by  
 20 reductive alkylation using an aldehyde of formula  $\text{Q}^{2A}\text{-CHO}$ ;

(E) for a compound of formula I in which  $\text{R}^2$  is  $-\text{NH-CO-O-X-Q}^{2A}$ , or  $-\text{NH-CO-NH-X-Q}^{2A}$ , acylating an alcohol of formula  $\text{HO-X-Q}^{2A}$  or an amine of formula  $\text{NH}_2\text{-X-Q}^{2A}$ , using an activated derivative of an acid of formula VI;

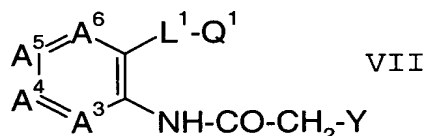
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(F) for a compound of formula I in which  $R^2$  is  
 $-NH-CO-X-Q^{2B}$  in which X is a single bond, acylating at the  
 1-position a piperazine of formula  $H-Q^{2B}$ , using an activated  
 5 derivative of an acid of formula VI;

(G) for a compound of formula I in which  $R^2$  is  
 $-NH-CO-X-Q^{2B}$  in which X is methylene, alkylating at the  
 1-position a piperazine of formula  $H-Q^{2B}$ , using an  
 alkylating agent of formula VII



in which Y is a leaving group;

(H) for a compound of formula I in which  $R^{2A}$  is  
 methylsulfonyl, substituting the amino nitrogen of a  
 15 corresponding compound of formula I in which  $R^{2A}$  is hydrogen  
 using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which  $R^{2A}$  is  
 $-CHRYR^Z$  or  $-CHR^WR^X$ , alkylating the amino nitrogen of a  
 corresponding compound of formula I in which  $R^{2A}$  is hydrogen  
 20 using an alkylating agent of formula  $Y-CHRYR^Z$  or  $Y-CHR^WR^X$  or  
 reductively alkylating the amine using a compound of formula  
 $RY-CO-R^Z$  or  $R^W-CO-R^X$ ;

(J) for a compound of formula I in which  $R^{2A}$  is  
 4-pyridinyl (which is unsubstituted or bears a substituent  
 25  $R^V$  at the 2- or 3-position), substituting the amino nitrogen  
 of a corresponding compound of formula I in which  $R^{2A}$  is  
 hydrogen using a corresponding pyridine reagent bearing a  
 leaving group Y at the 4-position;

(K) for a compound of formula I in which  $R^{2A}$  is  
 30 4-pyridinyl in which  $R^V$  is alkoxycarbonyl, esterifying a  
 corresponding compound of formula I in which  $R^V$  is carboxy;

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(L) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which  $R^V$  is alkoxycarbonyl;

5 (M) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is carbamoyl, amidating the ester of a corresponding compound of formula I in which  $R^V$  is alkoxycarbonyl;

10 (N) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is thiocarbamoyl, adding  $H_2S$  to the nitrile of a corresponding compound of formula I in which  $R^V$  is cyano;

15 (O) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is N-hydroxyamidino, adding  $H_2NOH$  to the nitrile of a corresponding compound of formula I in which  $R^V$  is cyano;

20 (P) for a compound of formula I in which  $R^{2A}$  is 4-pyridinyl in which  $R^V$  is carboxy, decomposing the ester of a corresponding compound of formula I in which  $R^V$  is alkoxycarbonyl;

(Q) for a compound of formula I in which  $-NR^{SR^t}$  is other than amino, alkylating a corresponding compound of formula I in which  $-NR^{SR^t}$  is amino using a conventional method;

25 (R) for a compound of formula I which bears  $-NR^{SR^t}$ , reductively alkylating  $H-NR^{SR^t}$  using a corresponding compound but in which the carbon to bear the  $-NR^{SR^t}$  group bears an oxo group;

30 (S) for a compound of formula I in which  $RP$  is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which  $RP$  is acetyl using an organometallic reagent;

(T) for a compound of formula I in which  $RP$  is 1-methoxy-1-methylethyl, treating a corresponding compound

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of formula I in which  $R^P$  is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which  $R^4$  or  $R^5$  is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which  $R^4$  or  $R^5$  is nitro;

(V) for a compound of formula I in which  $R^4$  or  $R^5$  is  $R^G\text{NH-}$  and  $R^G$  is  $R^h\text{SO}_2\text{-}$ , substituting the amino group of a corresponding compound of formula I in which  $R^4$  or  $R^5$  is amino using an activated derivative of the sulfonic acid  $R^h\text{SO}_2\text{-OH}$ ;

whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a physiologically acceptable counterion or by any other conventional procedure;

and wherein, unless otherwise specified,  $A^3\text{-}A^6$ ,  $L^1$ ,  $Q^1$  and  $R^2$  have any of the values defined in Claim 1 or 2.

13. (Currently amended) A method of inhibiting factor Xa in a mammal comprising administering to the mammal in need thereof, an effective amount of a compound of formula I as provided in any of Claims 1-3 1-10.

14-16. (Cancelled)

17. (New) The compound of Claim 5 wherein  $R^2$  is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino,

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(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
5 4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

18. (New) The compound as claimed in Claim 5 wherein  
each of  $R^3$ - $R^6$  is hydrogen.

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19. (New) The compound as claimed in Claim 6 wherein  
each of  $R^3$ - $R^6$  is hydrogen.

20. (New) The compound as claimed in Claim 17 wherein  
15 each of  $R^3$ - $R^6$  is hydrogen.

21. (New) The compound as claimed in Claim 5 wherein  
each of  $R^3$ ,  $R^4$  and  $R^6$  is hydrogen and  $R^5$  is chloro or  
fluoro.

20

22. (New) The compound as claimed in Claim 6 wherein  
each of  $R^3$ ,  $R^4$  and  $R^6$  is hydrogen and  $R^5$  is chloro or  
fluoro.

23. (New) The compound as claimed in Claim 17 wherein  
25 each of  $R^3$ ,  $R^4$  and  $R^6$  is hydrogen and  $R^5$  is chloro or  
fluoro.

24. (New) The compound of Claim 9 wherein  $Q^1$  is  
30 6-chloropyridazin-3-yl.

25. (New) The compound of Claim 9 wherein  $R^2$  is  
(1-isopropylpiperidin-4-ylcarbonyl)amino,  
(1-cyclohexylpiperidin-4-ylcarbonyl)amino,



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(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridiny]piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
5 4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

26. (New) The compound of Claim 24 wherein R<sup>2</sup> is  
(1-isopropylpiperidin-4-ylcarbonyl)amino,  
10 (1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridiny]piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
15 4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

27. (New) The compound selected from  
N-(6-chloropyridazin-3-yl)-2-[[1-(4-pyridiny]-  
20 piperidin-4-ylcarbonyl]amino]benzamide and  
5-chloro-N-(6-chloropyridazin-3-yl)-2-[(1-isopropyl-  
piperidin-4-ylcarbonyl)amino]benzamide, or  
a pharmaceutically acceptable salt thereof.